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=> d his

     (FILE 'HOME' ENTERED AT 17:57:21 ON 16 JAN 2004)

     FILE 'CAPLUS' ENTERED AT 18:00:09 ON 16 JAN 2004
                E SCHLOEMER G/IN
L1            17 S E4-E6
L2             0 S L1 AND ACETAMIDE?
L3             0 S L1 AND IMIDAZO?
L4             7 S L1 AND PROCESS
L5             5 S DIMETHYLGLYOXYLAMIDE
                 SELECT L5 5 RN

     FILE 'REGISTRY' ENTERED AT 18:16:26 ON 16 JAN 2004
L6             3 S E1-E3

     FILE 'CAPLUS' ENTERED AT 18:18:30 ON 16 JAN 2004
                SELECT L5 4 RN

     FILE 'REGISTRY' ENTERED AT 18:18:53 ON 16 JAN 2004
L7             3 S E4-E6

     FILE 'REGISTRY' ENTERED AT 18:30:24 ON 16 JAN 2004
L8                STRUCTURE UPLOADED
L9             0 S L8

     FILE 'BEILSTEIN' ENTERED AT 18:31:02 ON 16 JAN 2004
L10            0 S L8
L11            1 S L8 SSS FULL

     FILE 'REGISTRY' ENTERED AT 18:32:54 ON 16 JAN 2004
L12            2 S L8 SSS FULL

     FILE 'CAPLUS' ENTERED AT 18:33:36 ON 16 JAN 2004
L13            4 S L12

=> d l8
L8 HAS NO ANSWERS
L8                STR
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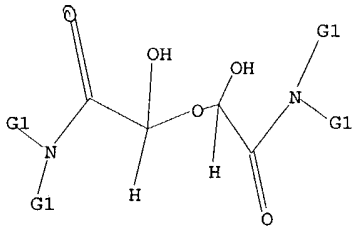
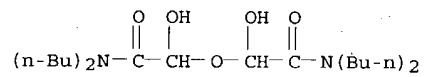


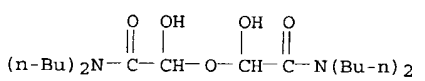
G1 Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu

=> d 1-4 bib abs hitstr

L13 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1991:101100 CAPLUS
DN 114:101100
TI One-pot synthesis of N,N,N',N'-tetrasubstituted ureas and oxomalonamides by oxidative carbonylation of lithium amides at atmospheric pressure
AU Nudelman, Norma S.; Lewkowicz, Elizabeth S.; Perez, Daniel G.
CS Fac. Cienc. Exactas, Univ. Buenos Aires, Buenos Aires, 1428, Argent.
SO Synthesis (1990), (10), 917-20
CODEN: SYNTBF; ISSN: 0039-7881
DT Journal
LA English
OS CASREACT 114:101100
AB N,N,N',N'-tetrasubstituted ureas RR1NCONRR1 (R = R1 = Bu, cyclohexyl, CHMe2, cyclohexyl) were prepd. in good yields by reaction of lithium aliph. amides RR1NLi in THF soln. with CO under mild conditions (0.degree., 1013 mbar) followed by treatment with oxygen prior to work up. N,N,N',N'-tetrasubstituted oxomalonamides (oxopropanediamides) RR1NCOCOCONRR1 were prepd. under similar reaction conditions by carrying out the reaction in the presence of known amts. of the pure amine. Besides being an useful synthetic method, the present studies afford new evidence of the mechanism of the reaction.
IT **83862-73-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 83862-73-1 CAPLUS
CN Acetamide, 2,2'-oxybis[N,N-dibutyl-2-hydroxy- (9CI) (CA INDEX NAME)

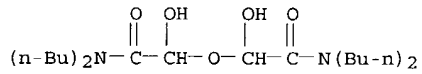


L13 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:55481 CAPLUS
DN 108:55481
TI Carbon-carbon bond formation through the carbonylation of lithium dialkylamides. One-pot synthesis of N-alkyl-substituted formamides, glyoxylamides, and hydroxymalonamides
AU Perez, Daniel G.; Nudelman, N. Sbarbati
CS Fac. Cienc. Exactas, Univ. Buenos Aires, Buenos Aires, 1428, Argent.
SO Journal of Organic Chemistry (1988), 53(2), 408-13
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
OS CASREACT 108:55481
AB The reaction of RR1NLi (R = R1 = Bu, pentyl, cyclohexyl; R = iso-Pr, R1 = cyclohexyl; RR1 = 3-oxapentamethylene) with CO to yield RR1NCHO, (RR1NCOCHOH)2O, and RR1NCOCH(OH)CONRR1 (R, R1 = same as above) was examd. under a no. of different conditions. Evidence supporting a lithium carbamoyl intermediate for the latter compds. is presented. A general procedure for the prepn. of tetraalkylureas, tetraalkyloxalamides, and tetraalkyloxomalonamides is given.
IT **83862-73-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 83862-73-1 CAPLUS
CN Acetamide, 2,2'-oxybis[N,N-dibutyl-2-hydroxy- (9CI) (CA INDEX NAME)

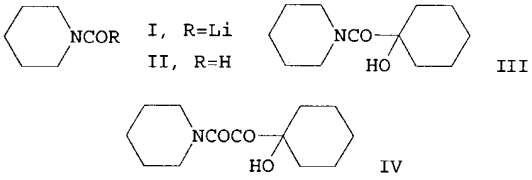


L13 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1983:34210 CAPLUS
DN 98:34210
TI Insertion of carbon monoxide into lithium-nitrogen bonds. One-pot synthesis of dialkylformamides and dialkylgloxylamides
AU Nudelman, N. Sbarbati; Perez, Daniel
CS Fac. Cienc. Exactas Nat., Univ. Buenos Aires, Buenos Aires, 1428, Argent.
SO Journal of Organic Chemistry (1983), 48(1), 133-4
CODEN: JOCEAH; ISSN: 0022-3263

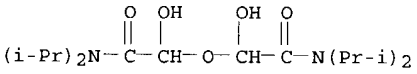
DT Journal
LA English
OS CASREACT 98:34210
AB Lithium dialkylamides react with CO to afford dialkylformamides (I), tetralkylhydroxymalonamides and dialkylglyoxylamides (II). Reaction conditions are described to produce I or II in good yields.
IT **83862-73-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 83862-73-1 CAPLUS
CN Acetamide, 2,2'-oxybis[N,N-dibutyl-2-hydroxy- (9CI) (CA INDEX NAME)



L13 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1979:121688 CAPLUS
DN 90:121688
TI Carbonylation of lithium dialkylamides to carbamoyllithium derivatives
AU Rautenstrauch, Valentin; Joyeux, Michel
CS Forschungslab., Firmenich S. A., Geneva, Switz.
SO Angewandte Chemie (1979), 91(1), 72-3
CODEN: ANCEAD; ISSN: 0044-8249
DT Journal
LA German
OS CASREACT 90:121688
GI



AB Lithiation of piperidine gave lithium piperidide, carbonylation of which gave I which was hydrolyzed to give 20-30% II. Reaction of I with cyclohexanone gave 85% 4:1 III-IV. Similarly, (Me2CH)2NH was lithiated, carbonylated, and hydrolyzed to give 20-40% (Me2CH)2NCHO.
IT **68986-67-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 68986-67-4 CAPLUS
CN Acetamide, 2,2'-oxybis[2-hydroxy-N,N-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



10/620209

=> s dimethylglyoxylamide
L5 5 DIMETHYLGLYOXYLAMIDE

=> d 1-5 bib abs kwic

L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:887680 CAPLUS
DN 139:364844
TI Preparation of indolizines as sPLA2 inhibitors
IN Dillard, Robert D.; Hagishita, Sanji; Ohtani, Mitsuaki
PA Eli Lilly and Company, USA; Shiongi and Company, Ltd.
SO U.S., 62 pp., Cont.-in-part of U.S. Ser. No. 278,445.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6645976	B1	20031111	US 1997-765566	19970428
	WO 9603383	A1	19960208	WO 1995-US9381	19950720

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RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRAI US 1994-278445 A2 19940721
WO 1995-US9381 W 19950720
OS MARPAT 139:364844
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I, II, III [wherein X = O or S; R11 = independently H, alkyl, or halo; R12 = H, halo, (cyclo)alkyl, cycloalkenyl, alkoxy, alkylthio, or a non-interfering substituent having 1-3 atoms other than H; R13 = (un)substituted alkyl, alkenyl, alkynyl, (hetero)cyclyl optionally connected by a linking group; R15 and R16 = independently H, non-interfering substituent, or (un)substituted (hetero)cyclyl; R17 and R18 = independently H, non-interfering substituent, or acidic linker; with the proviso that at least one of R17 and R18 must be an acidic linker; or pharmaceutically acceptable salt, ester, or amide prodrug derivs. thereof], and their 3-acetamide, 3-acetic acid hydrazide, and 3-glyoxylamide analogs were prepd. as inhibitors of human secreted phospholipase A2 (sPLA2) mediated release of fatty acids. For example, conversion of 2-methyl-5-methoxypyridine to the anion in THF using lithium diisopropylamide and subsequent reaction with benzonitrile produced 5-methoxy-2-phenacylpyridine (57.0%). Cyclization of the pyridine deriv. with 1-bromo-2-butanone using NaHCO3 in acetone gave the 1-benzoylindolizine (90.7%), which was reduced by LAH to give 1-benzyl-2-ethyl-6-methoxyindolizine (94.5%). Acylation (98.5%) with Et oxalyl chloride in benzene, followed by sapon. with LiOH in H2O and amidation using NH4OH, provided 2-(1-benzyl-2-ethyl-6-methoxyindolizin-3-yl)glyoxylamide. Demethylation by BBr3 in CH2Cl2, coupling with Et 4-bromobutyrate (56.2%) in the presence of NaH in DMF, and hydrolysis with LiOH gave the title indolizine IV (49.9%). Eighty-eight compds. of the invention inhibited recombinant human sPLA2 in a chromogenic assay with IC50 values ranging from 0.006 .mu.M to 1.1 .mu.M, in contrast to IC50 values >50 .mu.M for comparative examples. Administration of 10/mg/kg of the representative compd., 2-[8-(carbomethoxymethoxy)-2-ethyl-3-(2-phenylbenzyl)indolizin-1-yl]glyoxylamide, improved the survival rate of male Wistar rats with sPLA2-induced pancreatitis from 33.3% (vehicle) to 91.7%. Thus, invention compds. and their pharmaceutical formulations are useful for the treatment of conditions such as septic shock, adult respiratory distress syndrome, pancreatitis, trauma, bronchial asthma, allergic rhinitis, and rheumatoid arthritis.
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT 177556-77-3P, 2-(3-Benzyl-8-hydroxy-2-ethylindolizin-1-yl)acetamide 177556-79-5P, 2-[2-Ethyl-8-hydroxy-3-(o-phenylbenzyl)indolizin-1-yl]acetamide 177556-80-8P, 2-[3-(m-Chlorobenzyl)-2-ethyl-8-hydroxyindolizin-1-yl]acetamide 177556-81-9P, 2-[2-Cyclopropyl-8-hydroxy-3-(o-phenylbenzyl)indolizin-1-yl]acetamide 177556-84-2P, 2-[8-[[(Benzyloxycarbonyl)methyl]oxy]-2-ethyl-3-(o-phenylbenzyl)indolizin-

1-yl]acetamide 177556-85-3P, 2-[8-[[(Benzyloxycarbonyl)methyl]oxy]-3-(m-chlorobenzyl)-2-ethylindolizin-1-yl]acetamide 177556-86-4P, 2-[8-[[(Carbomethoxy)methyl]oxy]-2-cyclopropyl-3-(o-phenylbenzyl)indolizin-1-yl]acetamide 177556-92-2P, 2-(3-Benzyl-2-methylindolizin-1-yl)glyoxylamide 177556-93-3P, 2-(3-Benzyl-8-benzyloxy-2-ethylindolizin-1-yl)glyoxylamide 177556-94-4P, 2-(3-Benzyl-8-benzyloxy-2-ethylindolizin-1-yl)-N-methylglyoxylamide 177556-95-5P, 2-(3-Benzyl-8-benzyloxy-2-ethylindolizin-1-yl)-N,N-**dimethylglyoxylamide** 177556-96-6P, 2-[8-Benzyloxy-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 177556-97-7P, 2-[8-Benzyloxy-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]-N-methylglyoxylamide 177556-98-8P, 2-[8-Benzyloxy-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]-N,N-**dimethylglyoxylamide** 177556-99-9P, 2-(3-Benzyl-8-benzyloxy-2-methylindolizin-1-yl)glyoxylamide 177557-00-5P, 2-[8-Benzyloxy-3-(m-chlorobenzyl)-2-ethylindolizin-1-yl]glyoxylamide 177557-01-6P, 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2-[8-[[(Carbomethoxy)methyl]oxy]-2-methylthio-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 177558-90-6P, 2-(3-Benzyl-2-ethyl-8-hydroxyindolizin-1-yl)glyoxylamide 177558-91-7P, 2-[2-Ethyl-8-hydroxy-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 177558-92-8P, 2-[2-Ethyl-8-hydroxy-3-(o-phenylbenzyl)indolizin-1-yl]-N-methylglyoxylamide 177558-93-9P, 2-[2-Ethyl-8-hydroxy-3-(o-phenylbenzyl)indolizin-1-yl]-N,N-**dimethylglyoxylamide** 177558-94-0P, 2-(3-Benzyl-8-hydroxy-2-methylindolizin-1-yl)glyoxylamide 177558-95-1P, 2-[3-(m-Chlorobenzyl)-2-ethyl-8-hydroxyindolizin-1-yl]glyoxylamide 177558-96-2P, 2-[2-Ethyl-8-hydroxy-3-(m-trifluoromethylbenzyl)indolizin-1-yl]glyoxylamide 177558-97-3P, 2-[2-Ethyl-8-hydroxy-3-[(1-naphthyl)methyl]indolizin-1-yl]glyoxylamide 177558-98-4P, 2-[2-Cyclopropyl-8-hydroxy-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 177559-41-0P, 2-[2-Ethyl-8-hydroxy-3-[(thiophen-2-yl)methyl]indolizin-1-yl]glyoxylamide 177559-42-1P, 2-[2-Ethyl-8-hydroxy-3-(m-methoxybenzyl)indolizin-1-yl]glyoxylamide 177559-43-2P, 2-[2-Ethyl-8-hydroxy-3-(o-nitrobenzyl)indolizin-1-yl]glyoxylamide 177559-45-4P, 2-[3-[(Biphenyl-2-yl)methyl]-8-hydroxy-2-methylindolizin-1-yl]glyoxylamide 177559-46-5P, 2-(3-Benzyl-2-cyclopropyl-8-hydroxyindolizin-1-yl)glyoxylamide 177559-47-6P, 2-[3-(p-Butylbenzyl)-2-ethyl-8-hydroxyindolizin-1-yl]glyoxylamide 177559-79-4P, 2-[3-Benzyl-7-(3-carbethoxypropyloxy)-2-ethylindolizin-1-yl]glyoxylamide 177559-80-7P, 2-[7-(3-Carbethoxypropyloxy)-2-cyclopropyl-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 177559-81-8P, 2-[7-(3-Carbethoxypropyloxy)-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 177559-82-9P, 2-[7-[[3-(Carbethoxy)propyl]oxy]-3-cyclohexylmethyl-2-ethylindolizin-1-yl]glyoxylamide 177559-84-1P, 2-[7-[[(Carboethoxy)methyl]oxy]-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 177559-99-8P, 2-[3-Benzyl-8-[[(methoxycarbonyl)methyl]amino]-2-methylindolizin-1-yl]glyoxylamide 177560-00-8P, 2-[8-[[(Methoxycarbonyl)methyl]amino]-3-cyclohexylmethyl-2-methylindolizin-1-yl]glyoxylamide 182115-63-5P, Methyl 2-[[3-Benzyl-1-(carbamoylmethyl)-2-ethylindolizin-8-yl]oxy]acetate 182115-76-0P, 2-[8-Benzyloxy-2-ethyl-3-[(4-pentylcyclohexyl)methyl]indolizin-1-yl]glyoxylamide 182115-78-2P, 2-(8-Benzyloxy-3-cyclopentylmethyl-2-cyclopropylindolizin-1-yl)glyoxylamide 182115-84-0P, 2-[8-Hydroxy-2-ethyl-3-(4-pentylcyclohexylmethyl)indolizin-1-yl]glyoxylamide 182115-86-2P, 2-[3-Benzyl-8-[[(carbethoxy)methyl]oxy]-2-ethylindolizin-1-yl]glyoxylamide 182115-87-3P, 2-[8-[[(Carbethoxy)methyl]oxy]-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 182115-88-4P, 2-[8-[[(Carbethoxy)methyl]oxy]-3-(m-chlorobenzyl)-2-ethylindolizin-1-yl]glyoxylamide 182115-90-8P, 2-[8-[[(Carbethoxy)methyl]oxy]-2-ethyl-3-[(1-naphthyl)methyl]indolizin-1-yl]glyoxylamide 182115-92-0P, 2-[3-Benzyl-8-[[(carbethoxy)methyl]oxy]-2-methylindolizin-1-yl]glyoxylamide 182115-93-1P, 2-[8-[[(Carbomethoxy)methyl]oxy]-2-ethyl-3-[(4-pentylcyclohexyl)methyl]indolizin-1-yl]glyoxylamide 182116-42-3P, 2-[7-(5-Carboethoxypentyloxy)-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 182116-44-5P, 2-[3-Benzyl-8-[[(methoxycarbonyl)methyl]amino]-2-methylindolizin-1-yl]acetamide 182116-45-6P, 2-[3-Benzyl-8-[(carboxymethyl)amino]-2-methylindolizin-1-yl]acetamide 182116-49-0P, 2-[8-(3-Carbomethoxypropyloxy)-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 215160-62-6P, 2-[8-[[(Carbomethoxy)methyl]oxy]-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 215160-63-7P, 2-[3-Benzyl-8-[[(tert-butoxycarbonyl)methyl]oxy]-2-ethylindolizin-1-yl]glyoxylamide 215160-64-8P 215160-65-9P 622835-99-8P, 2-[3-(1-Naphthyl)-8-hydroxy-2-ethylindolizin-1-yl]acetamide 622836-00-4P, Methyl 2-[[3-Naphthyl-1-(carbamoylmethyl)-2-ethylindolizin-8-yl]oxy]acetate 622836-03-7P, 2-[3-Benzyl-8-[[(carbethoxy)methyl]oxy]-2-ethylindolizin-1-yl]-N-methylglyoxylamide 622836-04-8P, 2-[3-Benzyl-8-[[(carbethoxy)methyl]oxy]-2-ethylindolizin-1-yl]-N,N-**dimethylglyoxylamide** 622836-05-9P, 2-[8-[[(Carbethoxy)methyl]oxy]-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]-N,N-

dimethylglyoxylamide 622836-07-1P, 2-[8-(Cyanomethyloxy)-2-ethyl-3-[(1-naphthyl)methyl]indolizin-1-yl]glyoxylamide 622836-33-3P, 2-[3-[(Adamant-1-yl)methyl]-8-benzyloxy-2-ethylindolizin-1-yl]glyoxylamide 622836-34-4P, 8-Benzyloxy-3-(cyclopentylcarbonyl)-2-cyclopropylindolizine 622836-35-5P, 8-Benzyloxy-3-cyclopentylmethyl-2-cyclopropylindolizine 622836-36-6P, 2-[8-[[(Carbomethoxy)methyl]oxy]-2-ethyl-3-(thiophen-2-yl)indolizin-1-yl]glyoxylamide 622836-37-7P, 2-(3-Cyclopentylmethyl-2-cyclopropyl-8-hydroxyindolizin-1-yl)glyoxylamide 622836-57-1P, 2-[3-(Biphenyl-2-yl)-8-[[(carbomethoxy)methyl]oxy]-2-methoxyindolizin-1-yl]glyoxylamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(sPLA2 inhibitor; prepn. of indolizines as inhibitors of sPLA2 mediated release of fatty acids)

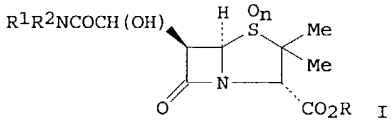
IT 177556-76-2P, 2-[1-Benzyl-6-(3-carboxypropyloxy)-2-ethylindolizin-3-yl]glyoxylamide 177556-87-5P, 2-[3-Benzyl-8-[(carboxymethyl)oxy]-2-ethylindolizin-1-yl]acetamide 177556-89-7P, 2-[8-[(Carboxymethyl)oxy]-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]acetamide 177556-90-0P, 2-[8-[(Carboxymethyl)oxy]-3-(m-chlorobenzyl)-2-ethylindolizin-1-yl]acetamide 177556-91-1P, 2-[8-[(Carboxymethyl)oxy]-2-cyclopropyl-3-(o-phenylbenzyl)indolizin-1-yl]acetamide 177557-67-4P, 2-[2-Ethyl-8-[(carboxymethyl)oxy]-3-(p-phenylbenzyl)indolizin-1-yl]glyoxylamide 177557-68-5P, 2-[8-[(Carboxymethyl)oxy]-3-cyclohexylmethyl-2-ethylindolizin-1-yl]glyoxylamide 177557-69-6P, 2-[8-[(Carboxymethyl)oxy]-3-cyclopentylmethyl-2-ethylindolizin-1-yl]glyoxylamide 177557-70-9P, 2-[8-[(Carboxymethyl)oxy]-3-cycloheptylmethyl-2-ethylindolizin-1-yl]glyoxylamide 177557-71-0P, 2-[8-[(Carboxymethyl)oxy]-2-ethyl-3-pentylindolizin-1-yl]glyoxylamide 177557-72-1P, 2-[8-[(Carboxymethyl)oxy]-2-ethyl-3-(2-propylpentyl)indolizin-1-yl]glyoxylamide 177557-75-4P, 2-[8-[(Carboxymethyl)oxy]-2-ethyl-3-(2-phenylethyl)indolizin-1-yl]glyoxylamide 177557-76-5P, 2-[8-[(Carboxymethyl)oxy]-3-(o-benzylbenzyl)-2-ethylindolizin-1-yl]glyoxylamide 177557-77-6P, 2-[8-[(Carboxymethyl)oxy]-2-ethyl-3-[(thiophen-2-yl)methyl]indolizin-1-yl]glyoxylamide 177557-78-7P, 2-[8-[(Carboxymethyl)oxy]-2-ethyl-3-[[3-(thiophen-2-yl)thiophen-2-yl]methyl]indolizin-1-yl]glyoxylamide 177557-79-8P, 2-[2-Ethyl-8-[(carboxymethyl)oxy]-3-(m-methoxybenzyl)indolizin-1-yl]glyoxylamide 177557-80-1P, 2-[2-Ethyl-8-[(carboxymethyl)oxy]-3-(o-nitrobenzyl)indolizin-1-yl]glyoxylamide 177557-82-3P, 2-[3-[(Adamant-1-yl)methyl]-8-[(carboxymethyl)oxy]-2-methylindolizin-1-yl]glyoxylamide 177557-83-4P, 2-[3-Benzyl-8-[(carboxymethyl)oxy]-2-cyclopropylindolizin-1-yl]glyoxylamide 177557-84-5P, 2-[3-(p-Butylbenzyl)-8-[(carboxymethyl)oxy]-2-ethylindolizin-1-yl]glyoxylamide 177557-85-6P, 2-[8-[(Carboxymethyl)oxy]-3-cyclohexylmethyl-2-methylindolizin-1-yl]glyoxylamide 177557-86-7P, 2-[8-[(Carboxymethyl)oxy]-3-cyclopentylmethyl-2-cyclopropylindolizin-1-yl]glyoxylamide 177557-87-8P, 2-[8-[(Carboxymethyl)oxy]-3-cyclopentylmethyl-2-methylindolizin-1-yl]glyoxylamide 177558-06-4P, 2-[3-[(Biphenyl-2-yl)methyl]-8-[(carboxymethyl)oxy]-2-ethylindolizin-1-yl]glyoxylamide sodium salt 177558-07-5P, 2-[3-[(Biphenyl-2-yl)methyl]-8-[[[1-(methoxycarbonyloxy)ethoxy]carbonyl]methoxy]-2-ethylindolizin-1-yl]glyoxylamide 177558-08-6P, 2-[3-[(Biphenyl-2-yl)methyl]-2-ethyl-8-[[[1-(isopropyloxycarbonyloxy)ethoxy]carbonyl]methoxy]indolizin-1-yl]glyoxylamide 177558-11-1P, 2-[3-[(Biphenyl-2-yl)methyl]-8-[[[[[1-(cyclopentyloxycarbonyloxy)ethyl]oxy]carbonyl]methyl]oxy]-2-ethylindolizin-1-yl]glyoxylamide 177558-12-2P, 2-[3-[(Biphenyl-2-yl)methyl]-8-[[[[[1-[(cyclopentylcarbonyl)oxy]ethyl]oxy]carbonyl]methyl]oxy]-2-ethylindolizin-1-yl]glyoxylamide 177558-18-8P, 2-[3-[(Biphenyl-2-yl)methyl]-2-ethyl-8-[[(1H-tetrazol-5-yl)methyl]oxy]indolizin-1-yl]glyoxylamide 177558-22-4P, 2-[3-Benzyl-7-(3-carboxypropyloxy)-2-ethylindolizin-1-yl]glyoxylamide 177558-23-5P, 2-[7-(3-Carboxypropyloxy)-2-cyclopropyl-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 177558-24-6P, 2-[7-(3-Carboxypropyloxy)-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 177558-25-7P, 2-[7-(3-Carboxypropyloxy)-3-cyclohexylmethyl-2-ethylindolizin-1-yl]glyoxylamide 177558-26-8P, 2-[3-[(Biphenyl-2-yl)methyl]-8-(3-carboxypropyloxy)-2-ethylindolizin-1-yl]glyoxylamide 177558-27-9P, 2-[7-[(Carboxymethyl)oxy]-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 177558-29-1P, 2-[3-[(Biphenyl-2-yl)methyl]-8-(2-carboxyethyloxy)-2-ethylindolizin-1-yl]glyoxylamide 177558-31-5P, 2-[3-[(Biphenyl-2-yl)methyl]-8-(2-carbomethoxyethyloxy)-2-ethylindolizin-1-yl]glyoxylamide 177558-32-6P, 2-[7-(3-Carbethoxypropyloxy)-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]acetamide 177558-33-7P, 2-[7-(3-Carboxypropyloxy)-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]acetamide 177558-35-9P, 2-[8-[(Carboxymethyl)oxy]-2-methylthio-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 177560-01-9P, 2-[3-Benzyl-8-[(carboxymethyl)amino]-2-methylindolizin-1-yl]glyoxylamide 177560-02-0P, 2-[8-

[(Carboxymethyl)amino]-3-cyclohexylmethyl-2-methylindolizin-1-yl]glyoxylamide 182115-96-4P, 2-[3-Benzyl-8-[(carboxymethyl)oxy]-2-ethylindolizin-1-yl]glyoxylamide 182115-97-5P, 2-[8-[(Carboxymethyl)oxy]-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 182115-98-6P, 2-[8-[(Carboxymethyl)oxy]-3-(m-chlorobenzyl)-2-ethylindolizin-1-yl]glyoxylamide 182115-99-7P, 2-[8-[(Carboxymethyl)oxy]-2-ethyl-3-(m-trifluoromethylbenzyl)indolizin-1-yl]glyoxylamide 182116-00-3P, 2-[8-[(Carboxymethyl)oxy]-2-ethyl-3-[(1-naphthyl)methyl]indolizin-1-yl]glyoxylamide 182116-01-4P, 2-[8-[(Carboxymethyl)oxy]-2-cyclopropyl-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 182116-02-5P, 2-[3-Benzyl-8-[(carboxymethyl)oxy]-2-methylindolizin-1-yl]glyoxylamide 182116-03-6P, 2-[8-[(Carboxymethyl)oxy]-2-ethyl-3-(4-pentylcyclohexylmethyl)indolizin-1-yl]glyoxylamide 182116-43-4P, 2-[7-(5-Carboxypentyloxy)-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 182116-46-7P, 2-[3-[(Biphenyl-2-yl)methyl]-2-methyl-8-[(pyridin-2-yl)methoxy]indolizin-1-yl]glyoxylamide 182116-47-8P, 2-[3-[(Biphenyl-2-yl)methyl]-2-methyl-8-[(pyridin-4-yl)methoxy]indolizin-1-yl]glyoxylamide 182116-48-9P, 2-[3-[(Biphenyl-2-yl)methyl]-2-methyl-8-[(quinolin-2-yl)methoxy]indolizin-1-yl]glyoxylamide 182116-50-3P, 2-[3-Benzyl-8-[(carboxymethyl)oxy]-2-ethylindolizin-1-yl]-N-methylglyoxylamide 182116-51-4P, 2-[3-Benzyl-8-[(carboxymethyl)oxy]-2-ethylindolizin-1-yl]-N,N-**dimethylglyoxylamide** 622836-01-5P, 2-[8-[(Carboxymethyl)oxy]-2-ethyl-3-(1-naphthyl)indolizin-1-yl]acetamide 622836-06-0P, 2-[8-[(Carboxymethyl)oxy]-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]-N,N-**dimethylglyoxylamide** 622836-08-2P, 2-[8-[[(1H-Tetrazol-5-yl)methyl]oxy]-2-ethyl-3-[(1-naphthyl)methyl]indolizin-1-yl]glyoxylamide 622836-38-8P, 2-[8-[(Carboxymethyl)oxy]-2-ethyl-3-(naphth-2-yl)indolizin-1-yl]glyoxylamide 622836-39-9P, 2-[8-[(Carboxymethyl)oxy]-2-ethyl-3-(4-pentylcyclohexylmethyl)indolizin-1-yl]glyoxylamide sodium salt 622836-40-2P, 2-[8-[(Carboxymethyl)oxy]-3-cyclohexylmethyl-2-methylindolizin-1-yl]glyoxylamide sodium salt 622836-41-3P, 2-[8-[(Carboxymethyl)oxy]-3-cyclopentylmethyl-2-methylindolizin-1-yl]glyoxylamide sodium salt 622836-43-5P, 2-[3-[(Biphenyl-2-yl)methyl]-8-[[[[[(tert-butoxycarbonyl)methyl]oxy]carbonyl]methyl]oxy]-2-ethylindolizin-1-yl]glyoxylamide 622836-44-6P, 2-[3-[(Biphenyl-2-yl)methyl]-8-[[[[[1-(cyclohexyloxycarbonyl)ethyl]oxy]carbonyl]methyl]oxy]-2-ethylindolizin-1-yl]glyoxylamide 622836-45-7P, 2-[3-[(Biphenyl-2-yl)methyl]-2-ethyl-8-[[[[[1-[(1-methylcyclopentyloxy)carbonyl]ethyl]oxy]carbonyl]methyl]oxy]indolizin-1-yl]glyoxylamide 622836-46-8P, 2-[3-[(Biphenyl-2-yl)methyl]-2-ethyl-8-[[[[[2-(morpholino)ethyl]oxy]carbonyl]methyl]oxy]indolizin-1-yl]glyoxylamide 622836-47-9P 622836-48-0P, 2-[3-[(Biphenyl-2-yl)methyl]-2-ethyl-8-[[[(2-oxopropyl)oxy]carbonyl]methoxy]indolizin-1-yl]glyoxylamide 622836-49-1P, 2-[3-[(Biphenyl-2-yl)methyl]-2-ethyl-8-[[(1-trityltetrazol-5-yl)methyl]oxy]indolizin-1-yl]glyoxylamide 622836-50-4P, 2-[7-(2-Carboethoxyethyloxy)-2-ethyl-3-(o-phenylbenzyl)indolizin-1-yl]glyoxylamide 622836-58-2P, 2-[3-(Biphenyl-2-yl)-8-[(carboxymethyl)oxy]-2-methoxyindolizin-1-yl]glyoxylamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sPLA2 inhibitor; prepn. of indolizines as inhibitors of sPLA2 mediated release of fatty acids)

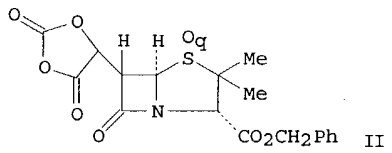
L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:5780 CAPLUS
DN 108:5780
TI 6-(1-carbamoyl-1-hydroxymethyl)penicillanic acid derivatives, their preparation, and their use as antibacterial agents and/or .beta.-lactamase inhibitors
IN Barth, Wayne Ernest
PA Pfizer Inc., USA
SO Eur. Pat. Appl., 138 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 220939	A1	19870506	EP 1986-308235	19861023
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	WO 9006928	A1	19900628	WO 1985-US2134	19851029
	W: US				
	DK 8605143	A	19870703	DK 1986-5143	19861028
	JP 62142183	A2	19870625	JP 1986-258106	19861029
	JP 06092417	B4	19941116		
	US 4797394	A	19890110	US 1987-85675	19870605

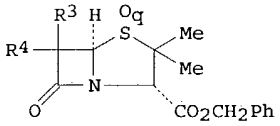
US 4868296 A 19890919 US 1988-243568 19880912
PRAI WO 1985-US2134 19851029
US 1987-85675 19870605
OS CASREACT 108:5780
GI



I



II



III, R^3=R^4=Br
IV, R^3=Br, R^4= CH(OH)CO_2CH_2CH=CH_2
V, R^3=H, R^4= CH(OH)CO_2CH_2CH=CH_2
VI, R^3=H, R^4=CH(OH)CO_2H

AB Title compds. I [n = 0-2; R = H, ester group hydrolyzable under physiol. conditions, acyloxymethyl or 1-(acyloxy)ethyl derived from conventional .beta.-lactam antibiotics; R1, R2 = H, (un)substituted Ph, phenylalkyl, cycloalkyl, naphthyl, azolyl, etc.; NR1R2 = pyrrolidino, piperidino, morpholino, 1,2,3,4-tetrahydroquinolinyl, etc.] and their salts, useful as antibacterial agents and/or .beta.-lactamase inhibitors (no data), were prepd. by a) hydrogenolysis of I (R = CH2Ph) and optionally b) converting the compd. to a cationic salt or c) converting the compd. to an acid addn. salt if the compd. contains a basic N atom. Further, the compds. may be converted to physiol. hydrolyzable esters or to acyloxymethyl or 1-(acyloxy)ethyl esters derived from conventional .beta.-lactam antibiotics. The benzyl ester was prepd. by a) reacting a cyclic anhydride II (q = 0, 2) with HNR1R2 and b) if desired, oxidizing the resulting 6-carbamoyl benzyl ester I (R = CH2Ph, n = 0) to a benzyl ester (n = 1 or 2) with 1 or 2 mol equiv 3-ClC6H4C(O)OOH. II are prepd. by a) reacting 6-dibromo compds. III with 1 mol equiv methylmagnesium Grignard reagent and then with H2C:CHCH2OCOCHO to form allyl ester IV; b) debromination to give V; c) hydrolysis to give the acid VI; and d) reaction with COCl2 in the presence of tertiary amine. Benzyl 6,6-dibromopenicillanate (III, q = 0) was treated with MeMgBr at -78.degree., then allyl glyoxalate at -78.degree. to give (R)- and (S)-IV (q = 0) the (R)-isomer of which was debrominated to give (S)-V (q = 0). Treating this with BuCHEtCO2Na, then Pd(PPh3)4 gave the Na salt of (S)-VI which was successively treated with COCl2 and NH4OH to give (S)-I (R = CH2Ph, R1 = R2 = H, n = 0). Hydrogenolysis in the presence of NaHCO3 and 10% Pd/C gave (S)-I (R = Na, R1 = R2 = H, n = 0).

IT 4706-32-5P, N-Glyoxyloylpiperidine 16423-59-9P, N-Glyoxyloylmorpholine 79036-50-3P, N,N-**Dimethylglyoxylamide** 106435-93-2P, N-Glyoxyloylpyrrolidine 111605-39-1P, N-Isopropylglyoxylamide
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

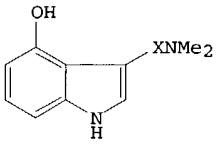
IT 75-16-1, Methylmagnesium bromide
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with benzyl dibromopenicillanate and **dimethylglyoxylamide**)

IT 4706-32-5 16423-59-9, N-Glyoxyloylmorpholine 64370-42-9, Allyl glyoxalate 79036-50-3, N,N-**Dimethylglyoxylamide** 106435-93-2, N-Glyoxyloylpyrrolidine 111605-39-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with benzyl dibromopenicillanate and methylmagnesium bromide)

IT 35564-99-9, Benzyl 6,6-dibromopenicillanate
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with methylmagnesium bromide and **dimethylglyoxylamide**)

L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1986:552787 CAPLUS

DN 105:152787
TI Synthesis of psilocin labeled with carbon-14 and tritium
AU Poon, Grace; Chui, Yun Cheung; Law, Francis C. P.
CS Dep. Biol. Sci., Simon Fraser Univ., Burnaby, BC, V5A 1S6, Can.
SO Journal of Labelled Compounds and Radiopharmaceuticals (1986), 23(2), 167-74
CODEN: JLCRD4; ISSN: 0362-4803
DT Journal
LA English
OS CASREACT 105:152787
GI



I

AB 14C- and 3H-labeled psilocin (I, X = CH214CH2; C3H2C3H2) tryptamine), the principal active agent of hallucinogenic mushrooms, was synthesized from 2-methyl-3-nitrophenol via 4-benzyloxyindole. 4-Benzyloxygramine was treated with K14CN to give 14C-4-benzyloxy-3-indoleacetic acid, an intermediate for I (X = CH214CH2). LiAl3H4 was used to reduce 4-benzyloxy-3-indole-N,N-**dimethylglyoxylamide** to give I (X = C3H2C3H2).
AB 14C- and 3H-labeled psilocin (I, X = CH214CH2; C3H2C3H2) tryptamine), the principal active agent of hallucinogenic mushrooms, was synthesized from 2-methyl-3-nitrophenol via 4-benzyloxyindole. 4-Benzyloxygramine was treated with K14CN to give 14C-4-benzyloxy-3-indoleacetic acid, an intermediate for I (X = CH214CH2). LiAl3H4 was used to reduce 4-benzyloxy-3-indole-N,N-**dimethylglyoxylamide** to give I (X = C3H2C3H2).

L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1959:28666 CAPLUS
DN 53:28666
OREF 53:5137e-g
TI Glyoxylamide derivatives
IN Whitfield, Gordon H.
PA Imperial Chemical Industries Ltd.
DT Patent
LA Unavailable
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 797604		19580702	GB	

AB N,N-Dialkyl substituted glyoxylamide derivs., useful as herbicides were prepd. Me2NCOCH(OH)NMe2 (I) (b8 70-3.degree.) (41.2 g.) was dissolved in 50 ml. MeOH and poured into a column (1 1/2'' .times. 3') packed with 500 g. polystyrenesulfonic acid cation-exchange resin, the resin washed with two 500 ml. portions MeOH, and 2 eluate fractions were collected. Removal of MeOH from the 1st eluate and distn. of the residue gave 20.69 g. N,N-**dimethylglyoxylamide** Me hemiacetal (II), b20 82.86.degree.. Similar treatment of the 2nd MeOH eluate gave 3.78 g. II. Exposure of II to moist air or treatment with the theoretical amt. of H2O gave Me2NCOCHO-0.5H2O (III), m. 121.degree.. Similar treatment of I in H2O gave III, m. 121-2.degree., directly. Cf. C.A. 53, 227d.
AB N,N-Dialkyl substituted glyoxylamide derivs., useful as herbicides were prepd. Me2NCOCH(OH)NMe2 (I) (b8 70-3.degree.) (41.2 g.) was dissolved in 50 ml. MeOH and poured into a column (1 1/2'' .times. 3') packed with 500 g. polystyrenesulfonic acid cation-exchange resin, the resin washed with two 500 ml. portions MeOH, and 2 eluate fractions were collected. Removal of MeOH from the 1st eluate and distn. of the residue gave 20.69 g. N,N-**dimethylglyoxylamide** Me hemiacetal (II), b20 82.86.degree.. Similar treatment of the 2nd MeOH eluate gave 3.78 g. II. Exposure of II to moist air or treatment with the theoretical amt. of H2O gave Me2NCOCHO-0.5H2O (III), m. 121.degree.. Similar treatment of I in H2O gave III, m. 121-2.degree., directly. Cf. C.A. 53, 227d.

L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1959:1738 CAPLUS
DN 53:1738
OREF 53:227d-f
TI Glyoxylic acid derivatives

10/620209

```
=> s e4-e6
             1 61960-32-5/BI
               (61960-32-5/RN)
             1 79036-50-3/BI
               (79036-50-3/RN)
             1 939-71-9/BI
               (939-71-9/RN)
L7           3 (61960-32-5/BI OR 79036-50-3/BI OR 939-71-9/BI)

=> d scan

L7   3 ANSWERS   REGISTRY  COPYRIGHT 2004 ACS on STN
IN   1,3-Benzodioxole-2-carboxamide (6CI, 7CI, 8CI)
MF   C8 H7 N O3
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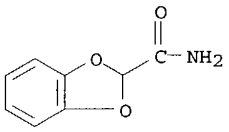

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L7   3 ANSWERS   REGISTRY  COPYRIGHT 2004 ACS on STN
IN   Acetamide, 2-hydroxy-2-methoxy-N,N-dimethyl- (9CI)
MF   C5 H11 N O3
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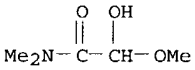

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**


L7   3 ANSWERS   REGISTRY  COPYRIGHT 2004 ACS on STN
IN   Acetamide, N,N-dimethyl-2-oxo- (9CI)
MF   C4 H7 N O2
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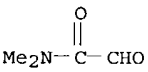


10/620209

IN Whitfield, Gordon H.
PA Imperial Chemical Industries Ltd.
DT Patent
LA Unavailable
FAN.CNT 1

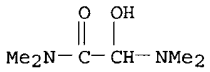
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 793807		19580423	GB	

AB R1R2NCOCHO, where R1 and R2 are alkyl groups, is prepd. by treating R1R2NCO with LiC.tplbond.CH to yield R1R2NCOCH(OLi)NR1R2 followed by hydrolyzing to R1R2NCOCH(OH)NR1R2 (I). I with an acid gives R1R2NCOCHO and the acid salt of R1R2NH. E.g., 219 g. Me2NCO added dropwise to 16 g. LiC.tplbond.CH in 150 ml. boiling MePh, reftuxed 0.5 hr., and MePh and unreacted Me2NCO distd. in vacuo left 108 g. Me2NCOCH(OLi)NMe2 (II). II added to 250 ml. H2O, extd. with ether, dried, and distd. yielded Me2NCOCH(OH)NMe2 (III), b8.0 70-3.degree.. A small portion of III with 2,4-dinitrophenylhydrazine sulfate yielded N,N-**dimethylglyoxylamide** 2,4-dinitrophenylhydrazone, m. 208.degree.. III is useful as an intermediate in the prepn. of herbicides and pharmaceuticals.

AB R1R2NCOCHO, where R1 and R2 are alkyl groups, is prepd. by treating R1R2NCO with LiC.tplbond.CH to yield R1R2NCOCH(OLi)NR1R2 followed by hydrolyzing to R1R2NCOCH(OH)NR1R2 (I). I with an acid gives R1R2NCOCHO and the acid salt of R1R2NH. E.g., 219 g. Me2NCO added dropwise to 16 g. LiC.tplbond.CH in 150 ml. boiling MePh, reftuxed 0.5 hr., and MePh and unreacted Me2NCO distd. in vacuo left 108 g. Me2NCOCH(OLi)NMe2 (II). II added to 250 ml. H2O, extd. with ether, dried, and distd. yielded Me2NCOCH(OH)NMe2 (III), b8.0 70-3.degree.. A small portion of III with 2,4-dinitrophenylhydrazine sulfate yielded N,N-**dimethylglyoxylamide** 2,4-dinitrophenylhydrazone, m. 208.degree.. III is useful as an intermediate in the prepn. of herbicides and pharmaceuticals.

=> d scan

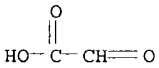
L6 3 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Glycolamide, 2-dimethylamino-N,N-dimethyl- (6CI)
MF C6 H14 N2 O2
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

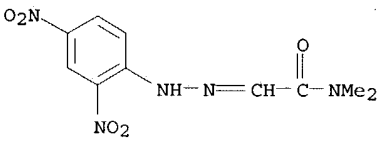
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L6 3 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Acetic acid, oxo- (9CI)
MF C2 H2 O3
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L6 3 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN
IN Acetamide, 2-[(2,4-dinitrophenyl)hydrazono]-N,N-dimethyl- (9CI)
MF C10 H11 N5 O5



10/620209

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                E SCHLOEMER G/IN
L1            17 S E4-E6
L2             0 S L1 AND ACETAMIDE?
L3             0 S L1 AND IMIDAZO?
L4             7 S L1 AND PROCESS

=>
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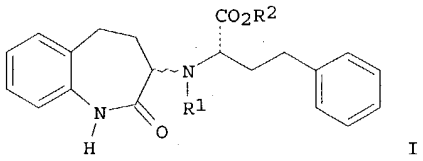
L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:222366 CAPLUS
DN 138:238439
TI Production of benazepril and analogs by kinetic resolution of an intermediate
IN Tseng, Wei-Hong; Cheng, Kau-Ming; **Schloemer, George**; Chen, Chien-Wen; Cheng, Chih-Wen
PA Scinopharm Taiwan, Ltd., Taiwan
SO U.S. Pat. Appl. Publ., 7 pp., Cont.-in-part of U.S. Ser. No. 910,509.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003055245	A1	20030320	US 2002-151772	20020521
	US 2002183515	A1	20021205	US 2001-910509	20010719
	US 6548665	B2	20030415		
PRAI	US 2001-291888P	P	20010518		
	US 2001-910509	A2	20010719		

OS MARPAT 138:238439
GI



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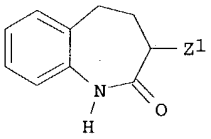

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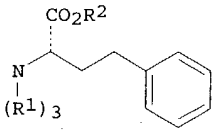

III

AB A **process** for the prepn. of benazepril and analogs I by reaction of compd. II [Z1 = halogen] with compd. III [R1 = H, alkyl or a combination of H and alkyl; R2 = alkyl] in a polar solvent via epimerization and kinetic resoln. of intermediate catalyzed by phase transfer catalyst was developed. Thus, coupling of L-homophenylalanine Et ester to 3-bromo-2,3,4,5-tetrahydro-1H-1-benzapin-2-one using sodium iodide, epimerization and kinetic resoln. of intermediate carboxylic acid, followed by esterification gave compd. (S,S)-I (R1 = H, R2 = Et) in 80% yield and the ratio of enantiomers detd. by HPLC is SS:RR > 99.5:0.5.

=> d 2-7 bib abs

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:736940 CAPLUS
DN 137:263201
TI **Process** for making taxane derivatives
IN **Schloemer, George**; Chen, Yung-fa; Lin, Chien Hsin; Daniewski, Wlodzimierz
PA Scinopharm Taiwan, Ltd., Taiwan
SO U.S. Pat. Appl. Publ., 9 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002137955	A1	20020926	US 2001-815517	20010323
	US 6531611	B2	20030311		
	WO 2002076967	A1	20021003	WO 2001-US9348	20010323

W: CN, JP
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

PRAI US 2001-815517 A 20010323
OS CASREACT 137:263201; MARPAT 137:263201
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides a novel semi-synthetic method of producing a variety of novel taxane derivs. I (R1 = alkoxy, R2 = alkoxy, H; R3 = alkyl; R4 = alkyl, aryl; X = protective group) by reaction of a phenylisoserine deriv. II with a suitably blocked Baccatin III deriv. III. I may be further modified to form pactitaxel and other potentially useful taxane derivs. Thus, III (R1 = R2 = MeO; R3 = Me; R4 = Ph), prepd. from (2R,3S)-phenylisoserine-HCl and .alpha.-methylcinnamic acid, was treated with 7-triethylsilylbaccatin III to give the corresponding I, which was converted to paclitaxel in 4 steps.

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:290826 CAPLUS
DN 136:310051
TI **Process** for the preparation of 4,4'-diketo-.beta.-carotene derivatives
IN **Schloemer, George C.**; Schloemer, Danuta A.; Davis, Jeffery L.
PA Prodemex, S.A. D.E.C.V., Mex.
SO U.S., 4 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6372946	B1	20020416	US 2001-953007	20010913
NO 2002004266	A	20030314	NO 2002-4266	20020906
CN 1417207	A	20030514	CN 2002-141620	20020906
EP 1293499	A1	20030319	EP 2002-256236	20020909

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRAI US 2001-953007 A 20010913
OS CASREACT 136:310051
AB A method of prepg. .beta.-carotene derivs. such as canthaxanthin and astaxanthin was described. The method employs an in situ system to generate hypobromous acid as the oxidizing agent using a salt of sulfite, hydrogen sulfite or bisulfite in combination with a bromate salt. Astaxanthin and canthaxanthin were obtained in good yield with a significantly reduced reaction time. Thus, zeaxanthin was oxidized using sodium hydrogen sulfite in chloroform to form axtaxanthin.
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:798186 CAPLUS
DN 135:344616
TI Oxidative **process** for the preparation of astaxanthin from zeaxanthin using a halogenating agent with the salt of chloric or bromic acid in an inert solvent
IN **Schloemer, George C.**; Davis, Jeffery L.
PA Prodemex, S.A. de C.V., Mex.
SO PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001081301	A2	20011101	WO 2001-US13295	20010425

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2001051357	A1	20011213	US 2001-813685	20010319

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     US 6376717         B2    20020423
     EP 1276719         A2    20030122        EP 2001-932633    20010425
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     NO 2001006293      A     20020211        NO 2001-6293      20011220
     ZA 2001010503      A     20020829        ZA 2001-10503     20011221
PRAI US 2000-199875P    P     20000426
     US 2001-813685     A     20010319
     WO 2001-US13295    W     20010425
OS   CASREACT 135:344616
AB   Astaxanthin is prepd. from zeaxanthin by oxidn. using a halogenating agent
     with the salt of chloric or bromic acid in an inert solvent.

L4   ANSWER 5 OF 7  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1996:689881  CAPLUS
DN   126:19174
TI   Preparation of acyclovir using 1,3 dioxolane
IN   Schloemer, George C.; Han, Yeun-kwei; Harrington, Peter J.
PA   Syntex (U.S.A.) Inc., USA
SO   U.S., 8 pp., Cont.-in-part of U.S. Ser. No. 280,269, abandoned.
     CODEN: USXXAM
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.         KIND  DATE            APPLICATION NO.   DATE
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PI   US 5567816         A     19961022        US 1995-426005    19950427
     CA 2152863         AA    19960127        CA 1995-2152863   19950628
     JP 08053451        A2    19960227        JP 1995-176022    19950712
     EP 709385          A1    19960501        EP 1995-110955    19950713
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
     CN 1122805         A     19960522        CN 1995-115316    19950725
     BR 9503442         A     19960604        BR 1995-3442      19950725
     FI 9503580         A     19960127        FI 1995-3580      19950726
PRAI US 1994-280269             19940726
     US 1995-426005             19950427
AB   A process for the prepn. of acyclovir via coupling of guanine or
     silylated guanines with 1,3-dioxolane in the presence of a selective
     alkylation catalyst selected from the group consisting of
     trifluoromethanesulfonic acid, trimethylsilyl trifluoromethanesulfonate,
     and bistrimethylsilyl sulfonate, and hydrolyzing the product thus formed.

L4   ANSWER 6 OF 7  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   1996:313501  CAPLUS
DN   124:343989
TI   Method for producing 9-(2-hydroxyethoxymethyl)guanine (acyclovir) as
     antiviral agent
IN   Han, Yuen-Kwei; Harrington, Peter John; Schloemer, George Charles
PA   F. Hoffmann-La Roche Ag, Switz.
SO   Can. Pat. Appl., 28 pp.
     CODEN: CPXXEB
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.         KIND  DATE            APPLICATION NO.   DATE
     ---------------    ----  --------        ---------------   --------
PI   CA 2152863         AA    19960127        CA 1995-2152863   19950628
     US 5567816         A     19961022        US 1995-426005    19950427
PRAI US 1994-280269             19940726
     US 1995-426005             19950427
OS   CASREACT 124:343989; MARPAT 124:343989
GI
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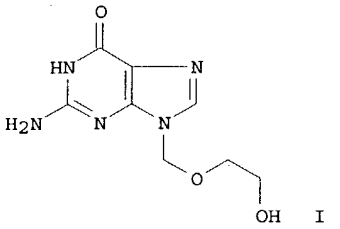

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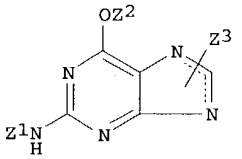

II

III

AB An efficient and selective **process** for the synthesis of the antiviral 9-(2-hydroxyethoxymethyl)guanine (acyclovir) (I) involves (1) contacting a silylated guanine or mixts. of silylated guanine (II; Z1, Z2, Z3 = H, R1R2R3Si; wherein R1 - R3 = lower alkyl; provided that at least one of Z1 -Z3 = R1R2R3Si) with 1,3-dioxolane (III) in the presence of a selective alkylation catalyst and (2) hydrolyzing the product formed. Said catalyst is selected form CF3SO3H, CF3SO3SiMe3, and bis(trimethylsilyl) sulfonate and CF3SO3SiMe3 is generated by contacting CF3SO3H with hexamethyldisilazane. The **process** avoids the use of acyl groups for protection of guanine, essentially specific for the prepn. of the N-9 isomer, thus eliminates the need for the chromatog. sepn. of the N-9/N-7 isomer mixts., provides I in good yields, requires simple starting materials and reaction conditions, and is carried out from start to finish in a single reaction vessel. Thus, a mixt. of 25 g guanine, 125 mL hexamethyldisilazane, and 1 mL CF3SO3SiMe3 was refluxed at 130-135.degree. for 24 h, cooled to 70.degree., treated with 25 mL 1,3-dioxolane, refluxed for 16 h, distd. under reduced pressure to remove excess hexamethyldisilazane, cooled to 70.degree., poured into a mixt. of 600 mL 10% aq. AcOH, and heated to give a soln. The soln. was treated with 1.25 g activated carbon to remove any color, filtered, and the filtrate was slowly cooled to 5.degree. to give, after filtering off the white cryst. solid formed, 78% I.

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1989:23725 CAPLUS
DN 110:23725
TI **Process** for preparing (.+-.)-1,2-dihydro-3H-pyrrolo[1,2-a]pyrrole-1,7-dicarboxylates as intermediates for pharmaceuticals
IN Khatri, Hiralal N.; Fleming, Michael P.; **Schloemer, George C.**
PA Syntex (U.S.A.), Inc., USA
SO Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 275092	A1	19880720	EP 1988-100390	19880113
	EP 275092	B1	19920603		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	US 4835288	A	19890530	US 1987-3162	19870114
	US 4849526	A	19890718	US 1987-3104	19870114
	DK 8800143	A	19880715	DK 1988-143	19880113
	FI 8800133	A	19880715	FI 1988-133	19880113
	FI 90344	B	19931015		
	FI 90344	C	19940125		
	NO 8800127	A	19880715	NO 1988-127	19880113
	NO 169124	B	19920203		
	NO 169124	C	19920513		
	AU 8810240	A1	19880721	AU 1988-10240	19880113
	AU 613334	B2	19910801		
	JP 63198684	A2	19880817	JP 1988-6757	19880113
	ZA 8800225	A	19890927	ZA 1988-225	19880113
	HU 48881	A2	19891128	HU 1988-117	19880113
	HU 200606	B	19900728		
	HU 51595	A2	19900528	HU 1989-5354	19880113
	HU 201728	B	19901228		
	HU 52045	A2	19900628	HU 1989-5355	19880113
	HU 203532	B	19910828		
	HU 52046	A2	19900628	HU 1989-5356	19880113

	HU 203721	B	19910930		
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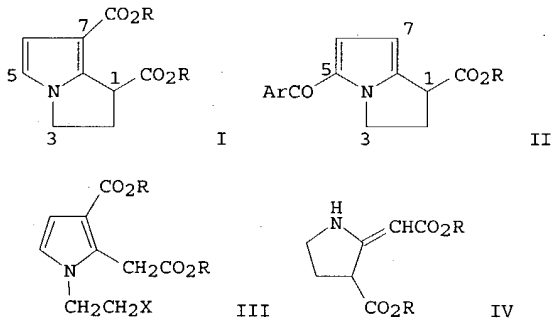
	IL 96388	A1	19910916	IL 1988-96388	19880113
	IL 96389	A1	19910916	IL 1988-96389	19880113
	AT 76873	E	19920615	AT 1988-100390	19880113
	ES 2041703	T3	19931201	ES 1988-100390	19880113
	HU 213614	B	19970828	HU 1990-1266	19880113
	CA 1340404	A1	19990223	CA 1988-556465	19880113
	US 4874872	A	19891017	US 1988-255799	19881011
	US 4937368	A	19900626	US 1989-299701	19890123
	NO 9003993	A	19880715	NO 1990-3993	19900913
	NO 174583	B	19940221		
	NO 174583	C	19940601		
	NO 9003994	A	19880715	NO 1990-3994	19900913
	NO 174346	B	19940110		
	NO 174346	C	19940420		
	NO 9003995	A	19880715	NO 1990-3995	19900913
	NO 173828	B	19931101		
	NO 173828	C	19940209		
	FI 92488	B	19940815	FI 1991-2709	19910605
	FI 92488	C	19941125		
	FI 95242	B	19950929	FI 1991-2710	19910605
	FI 95242	C	19960110		
	FI 91148	B	19940215	FI 1993-320	19930126
	FI 91148	C	19940525		
PRAI	US 1987-3104	A	19870114		
	US 1987-3162	A	19870114		
	EP 1988-100390	A	19880113		
	HU 1988-117	A	19880113		
	IL 1988-85094	A	19880113		
	NO 1988-127	A1	19880113		

OS CASREACT 110:23725; MARPAT 110:23725

GI



AB A **process** for producing diesters I (R = alkyl), useful as intermediates for pharmaceuticals II [Ar = alkyl, alkoxy, or halo (un)substituted Ph, 2- or 3- furoyl, 2- or 3-thienyl, 2- or 3-pyrryl; R = H, alkyl] useful as analgesics, antiinflammatories, antipyretics, and smooth muscle relaxants (no data), comprised: a) cyclizing pyrrole III (X = halo) with a hindered amine in an aprotic polar solvent; or b) reacting pyrrolidine IV with XCH2CHo (X = halo) in aq. soln. I (R = alkyl) are sapond. to I (R = H) which are monoesterified to I (R at 1 = alkyl, R at 7 = H) which are decarboxylated to II (no ArCO group). These are aroylated with an amide or morpholide to give II. I (R = H), which had been prepd. in 5 steps from BrCH2CH2NH2.HBr and (MeO2CCH2)2CO was converted in 4 steps into II (Ar = 4-MeC6H4, R = H).

L Number	Hits	Search Text	DB	Time stamp
1	3478	phosphorus adj tribromide	USPAT; US-PGPUB	2004/01/16 17:19
2	30687	thionyl adj chloride	USPAT; US-PGPUB	2004/01/16 17:20
3	315	(phosphorus adj tribromide) near (thionyl adj chloride)	USPAT; US-PGPUB	2004/01/16 17:20
4	593	imidazopyridine	USPAT; US-PGPUB	2004/01/16 17:21
5	0	((phosphorus adj tribromide) near (thionyl adj chloride)) and imidazopyridine	USPAT; US-PGPUB	2004/01/16 17:20
6	271	imidazopyridines	USPAT; US-PGPUB	2004/01/16 17:21
7	745	imidazopyridine or imidazopyridines	USPAT; US-PGPUB	2004/01/16 17:21
8	0	(imidazopyridine or imidazopyridines) and ((phosphorus adj tribromide) near (thionyl adj chloride))	USPAT; US-PGPUB	2004/01/16 17:22
9	11485	halogenating	USPAT; US-PGPUB	2004/01/16 17:22
10	0	((phosphorus adj tribromide) near (thionyl adj chloride)) near halogenating	USPAT; US-PGPUB	2004/01/16 17:22
11	180	((phosphorus adj tribromide) near (thionyl adj chloride)) same halogenating	USPAT; US-PGPUB	2004/01/16 17:45
12	3	(((phosphorus adj tribromide) near (thionyl adj chloride)) same halogenating) and sleep	USPAT; US-PGPUB	2004/01/16 17:47
13	113	hydrolysis and (((phosphorus adj tribromide) near (thionyl adj chloride)) same halogenating)	USPAT; US-PGPUB	2004/01/16 17:47
14	310	hydrolysis same (phosphorus adj tribromide) (((phosphorus adj tribromide) near (thionyl adj chloride)) same halogenating)	USPAT; US-PGPUB	2004/01/16 17:47
15	1	hydrolysis same (((phosphorus adj tribromide) near (thionyl adj chloride)) same halogenating)	USPAT; US-PGPUB	2004/01/16 17:48